

DOCKET NO.: 0690-0122PUS1

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION OF : KOBER et al.
SERIAL NO. : 10/525,008
FILED : September 8, 2005
FOR : AGENTS CONTAINING CARBOXYLIC ACID
AND THE USE OF THE SAME IN PLANT
CULTIVATION

DECLARATION UNDER 37 C.F.R. §1.132

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SIR:

Now comes Reiner Kober who deposes and states:

1. I am a graduate of the Rheinische Friedrich-Wilhelms-Universität Bonn, Germany, and received my doctorate degree in the year 1984.
2. I have been working for more than 25 years as a scientist in the field of organic chemistry and especially agrochemistry and I am inventor or co-inventor of more than 100 patent applications in the field of agro-related chemistry.
3. I have read and fully understood U.S. application, Ser. No. 10/525,008.
4. I have read and fully understood the Office Actions of 31 October 2007, 20 March 2008, 8 October 2008 and June 11, 2009, the Advisory Action of 29 September 2009, the Office Action of 5 March 2010 and the references cited therein.
6. The experiments and investigations disclosed in reference examples 1 and 2 as well as in example 2 of U.S. application, Ser. No. 10/525,008 were carried out by me or under my direct supervision.

7. U.S. patent 5, 968,964 (Rehnig et al.) describes that fungicidal triazole compounds such as metconazole are capable of forming salts or addition products with inorganic or organic acids or metal ions. Examples of inorganic acids, organic acids and metal ions are given in column 2, lines 43-59. The list of organic acids includes relatively weak carboxylic acids such as acetic acid, propionic acid, glycolic acid, and lactic acid. I would not expect the triazole compounds to form a stable salt with these carboxylic acids. Salt formation requires the transfer of a proton from the acid to the base. The fungicidal triazole compounds mentioned in U.S. patent 5, 968,964 fail to be sufficiently strong bases to accept a proton from said carboxylic acids and said carboxylic acids fail to be sufficiently strong acids to transfer a proton to the triazole. This is corroborated by the fact that the pKa of triazole is 2.2 and that of propionic acid is 4.8. Accordingly, protonated triazole is a stronger acid than propionic acid so that the formation of a stable salt between triazole and propionic acid can be excluded. Likewise, I do not expect metconazole to form a stable salt with propionic acid as I have determined metconazole to have a pKa of 3.0. Figure 1 attached hereto provides a summary of the relevant data used in this determination.

8. Actually, a search I had done by the library services at BASF SE did not reveal any known stable salt of a fungicidal triazole compound with a carboxylic acid. I note that U.S. patent 5, 968,964, too, fails to provide any experimental proof that such a salt was prepared.

9. U.S. patent 5,714,507 (Valcke et al), too, mentions that triazole compounds such as metconazole may form salts. Again, I am surprised that the list of organic acids includes acetic acid, propionic acid and lactic acid. For the same reasons as outlined under items 7 and 8, I am firmly convinced that neither metconazole nor any one of the other triazole compounds mentioned in U.S. patent 5,714,507 can form a stable salt with one of said carboxylic acids.

10. U.S. patent 5,714,507 is about synergism. The combination of metconazole with several other triazole compounds is said to exhibit synergistic fungicidal activity which is useful in material

(in particular wood) protection and the protection of plants, fruits and seeds. See column 1, lines 8 to 12. I fully agree with the statement in column 6, lines 5 to 8: It is evident that in general different compositions with different characteristics will be required for use in plant protection on the one hand, and for use in material protection on the other. Of course, there are carriers and adjuvants equally useful in both types of compositions. Some of them are described in U.S. patent 5,714,507 at column 6, line 11, to column 7, line 53. However, U.S. patent 5,714,507 distinctively describes additives particularly suitable for plant protection (see column 7, line 54, to column 8, line 47), and those specific to composition for use in wood protection (see column 8, line 48, to column 11, line 4). Examples 1 to 6 illustrate several compositions for use in plant protection and example 7 illustrates compositions for use in wood protection. While neither the carriers and adjuvants equally useful in both types of compositions nor the additives particularly suitable for plant protection include carboxylic acids, the description of wood-preserving compositions refers to the use of carboxylic acids. I conclude that the use of a carboxylic acid in the compositions described in U.S. patent 5,714,507 is confined to wood-preservative liquids. This is in line with the fact that only the wood-preservative liquids of example 7 contain a carboxylic acid.

11. The most striking difference between compositions for use in plant protection and wood-preservative compositions is probably their mode of application. Whereas wood is often treated in closed pressure or vacuum systems, in thermal or dip systems and the like, compositions for use in plant protection are usually sprayed, added to the soil or coated on seeds. See column 5, lines 16 to 18, and column 4, lines 8 to 11. In timber protection, it is common to use water blends of highly loaded copper formulations, e.g., in a so-called double vacuum impregnation process of timber: Timber is placed in large steel tubes and ambient air is removed in vacuum. Then, a water blend with a copper-containing fungicide is pressed into the tube under high pressure so that the timber is fully impregnated. In a second vacuum step, excess of liquid is removed to improve re-

drying of timber. Such a process is fundamentally different from the modes of application used in the field of plant protection, e.g. spray applications, and I note that these different modes of application require different types of compositions, as stated in U.S. patent 5,714,507.

12. Further, compositions for plant protection are designed so as to be innocuous to culture plants, to be easily and safely applicable, to have good bioavailability to the host plants and to remain (persist) only temporarily in the environment. See column 7, lines 54 to 59. Conversely, compositions for use in wood protection are designed so as to penetrate well into the wood and to persist there for a long time. See column 8, lines 48 to 52. In view of these contradicting requirements, compositions designed for use in wood protection are usually not appropriate for plant protection. Especially in the field of plant growth regulation the foliar application of these products requires a particular type of formulation.

13. I note that in example 7 of U.S. patent 5,714,507, water-dilutable concentrates comprising metconazole, propiconazole and an acid, i.e. propionic acid, boric acid or benzoic acid, are described. However, these water-dilutable concentrates contain 14% by weight of $\text{Cu}(\text{OH})_2\text{CuCO}_3$, a natural mineral also known as malachite. Malachite is a basic copper hydroxide – copper carbonate blend which I know is quite insoluble in water. However, it is my understanding that the addition of neutralizing acids, i.e. the slightly acidic propionic, boric and benzoic acids, will help dissolving the mineral. Also, the addition of monoethanolamine, a chelating and quite basic agent, will help dissolving the mineral. Under these conditions, I would expect the compositions to contain said acids in salt rather than in free form, e.g. as propionate salts. Overall, the pH of these compositions will be higher than 7, especially higher than 8. This makes sense as basic pH conditions are preferred for wood protection applications in order to protect steel containing equipment from corrosion. In contrast, the compositions described in U.S. application, Ser. No.

10/525,008 usually contain such amounts of carboxylic acid that they have a pH of less than 7, especially of less than 6 and with preference of less than 5.5.

14. The addition of acid to protonate and dissolve malachite in the water-dilutable concentrates described in U.S. patent 5,714,507 is fundamentally different from using carboxylic acids as solvent for pesticidally active triazoles in water-based plant protection compositions as described in U.S. application, Ser. No. 10/525,008. Therefore and for the reasons given above, the water-dilutable concentrates described in U.S. patent 5,714,507 do not represent a type of formulation which would be taken into account when formulating plant protection and in particular plant growth regulating agents.

15. Further deponent saith not.

Limburgerhof, Germany, 5-7-2010



(Reiner Kober)

Annex:
Figure 1

Figure 1:

